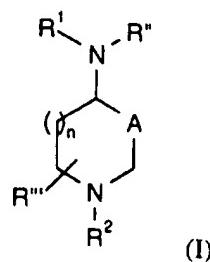


What is claimed is:

1. A compound according to formula (I):



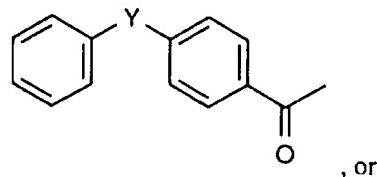
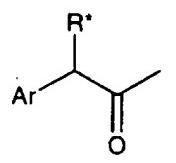
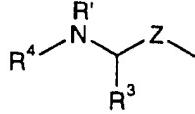
5

wherein:

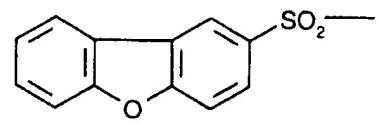
A is C(O) or CH(OH);

R¹ is

10

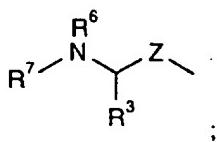


, or



- 15 R² is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl,
R⁵C(O)-, R⁵C(S)-, R⁵SO₂-, R⁵OC(O)-, R⁵R'NC(O)-, R⁵R'NC(S)-, adamantyl-C(O)-, or

20



R'' is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

R''' is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

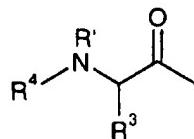
each R³ independently is H, C₂₋₆alkenyl, C₂₋₆alkynyl, Het, Ar or C₁₋₆alkyl

optionally substituted by OR', SR', NR'₂, R'NC(O)OR⁵, CO₂R', CO₂NR'₂, N(C=NH)NH₂,

Het or Ar;

- R^4 is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, R⁵C(O)-, R⁵C(S)-, R⁵SO₂-, R⁵OC(O)-, R⁵R'NC(O)-, R⁵R'NC(S)-, R'HNCH(R')C(O)-, or R⁵OC(O)NR'CH(R')C(O)-;
- each R⁵ independently is C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl,
- 5 Ar-C₀₋₆alkoxy, Het-C₀₋₆alkoxy, or C₁₋₆alkyl optionally substituted by OR', SR', NR'₂, R'NC(O)OR⁵, CO₂R', CO₂NR'₂, N(C=NH)NH₂, Het or Ar;
- R^6 is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl and R⁷ is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, R⁵C(O)-, R⁵C(S)-, R⁵SO₂-, R⁵OC(O)-, R⁵R'NC(O)-, R⁵R'NC(S)-, R'HNCH(R')C(O)-, or R⁵OC(O)NR'CH(R')C(O)-;
- 10 or R⁶ and R⁷ are connected to form a pyrrolidine, a piperidine, or a morpholine ring;
- each R' independently is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;
- R^* is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;
- Y is a single bond or O;
- each Z independently is CO or CH₂; and
- 15 n is 0, 1, or 2;
- or a pharmaceutically acceptable salt thereof.

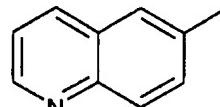
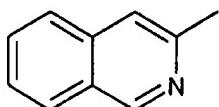
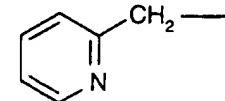
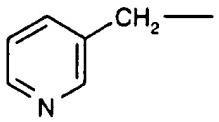
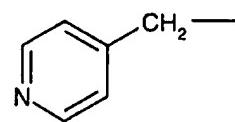
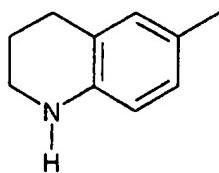
2. A compound according to claim 1 wherein R¹ is



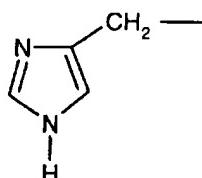
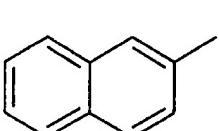
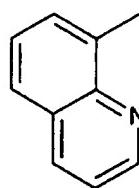
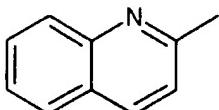
3. A compound according to claim 2 wherein R⁴ is R⁵C(O)-, R⁵SO₂-, R⁵OC(O)-.

- 25 4. A compound according to claim 3 wherein R⁵ in said R¹ group is Ar-C₀₋₆alkyl or Het-C₀₋₆alkyl.

5. A compound according to claim 4 wherein R⁵ in said R¹ group is phenyl or benzyl which are unsubstituted or substituted by one or two of the group consisting of Cl, 30 Br, F, CF₃, C₁₋₄alkyl, OH, C₁₋₄alkoxy, CN, CONH₂, NH₂, or NO₂, or substituted by methylenedioxy; or



5

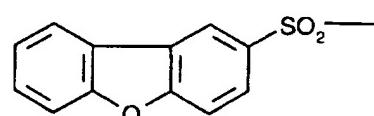
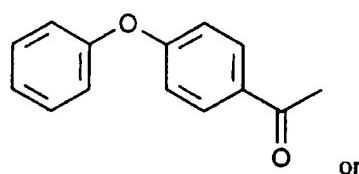


10

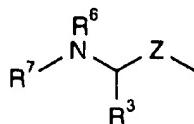
6. A compound according to claim 2 wherein, in said R¹ group, R' is H or CH₃ and R³ is i-butyl.

15

7. A compound according to claim 1 wherein R¹ is



8. A compound according to claim 1 wherein R² is



9. A compound according to claim 8 wherein R^7 is $\text{R}^5\text{OC(O)}-$.
- 5 10. A compound according to claim 9 wherein R^5 in said R^7 group is
Ar-C₀₋₆alkyl or Het-C₀₋₆alkyl.
- 10 11. A compound according to claim 10 wherein R^5 in said R^7 group is phenyl
or benzyl which are unsubstituted or substituted by one or two of the group consisting of
Cl, Br, F, CF₃, C₁₋₄alkyl, OH, C₁₋₄alkoxy, CN, CONH₂, NH₂, or NO₂, or substituted by
methylenedioxy; or 2-, 3-, or 4-pyridyl-CH₂-.
12. A compound according to claim 1 wherein R^2 is
- 15
 in which X is CO, SO₂, or CH₂-CO and Y is a single bond or O.
13. A compound according to claim 8 wherein, in said R^2 group, R^6 is H or CH₃ and R^3 is i-butyl.
- 20 14. A compound according to claim 1 wherein R^2 is Ar-C₀₋₆alkyl,
Het-C₀₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, $\text{R}^5\text{C(O)}$ -, $\text{R}^5\text{C(S)}$ -,
 R^5SO_2 -, $\text{R}^5\text{OC(O)}$ -, $\text{R}^5\text{R}'\text{NC(O)}$ -, $\text{R}^5\text{R}'\text{NC(S)}$ -, $\text{R}'\text{HNCH(R')C(O)}$ -,
 $\text{R}^5\text{OC(O)NR'CH(R')C(O)}$ -, adamantlyl-C(O)-.
- 25 15. A compound according to claim 1 wherein A is C(O).
16. A compound according to claim 1 which is:
- 30 (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl]]amino]pentanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl]]amino]pentanoyl]-3-pyrrolidinone;

- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(phenoxybenzamide)]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinol;
- 5 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;
- 10 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxyoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxyoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 15 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-(aminomethyl)pentanoyl]-3-pyrrolidinone;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;
- 20 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(ethanoyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 25 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
- 30 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinone;
- 35 4-[[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-3-tert-butoxy-[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinol;

- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-2-
[[benzyloxycarbonyl]amino]propanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-2-
[[benzyloxycarbonyl]amino]propanoyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-
[cyclohexanepropanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[cyclohexanepropanoyl]-3-
pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
10 [[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[4-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
- 15 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
- 20 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylcarbonyl)-
3-pyrrolidinol;
- 25 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylcarbonyl)-3-
pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 30 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-
35 (biphenyl)ethanoyl]-3-piperidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenyl)ethanoyl]-3-
piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinone;

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinol;
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinol;
- 10 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(amino)ethanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinol;
- 15 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinone;
- 20 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-piperidinol;
- 25 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
- 30 [(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 35 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinol;

- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-((benzyloxycarbonyl)methylamino)ethanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-pyrrolidinol;
- 5 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)ethanoyl]-3-pyrrolidinol;
- 4-[[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)ethanoyl]-
- 10 3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-
- pyrrolidinone;
- 15 (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-
- pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
- 20 tert-butoxycarbonyl-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
- pyrrolidinone;
- (3RS,4RS)-4-[[N^a-3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
- tert-butoxycarbonyl-3-pyrrolidinol;
- 25 4-[[N^a-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
- pyrrolidinone;
- 4-[[N^a-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-
- 30 methyl-2-[(benzyloxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^aidinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-
- methyl-2-[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
- 35 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-
- pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[[N^a-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
- 10 [1-(adamantyl)carbonyl]-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[1-(adamantyl)carbonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-pyrrolidinol;
- 15 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-D-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-D-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 20 [[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 4-[[N^a-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone
- 25 (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-N^c-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-N^c-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
- 30 tert-butoxycarbonyl-3-piperidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1- (4-methylpentanoyl)-3-piperidinone;
- 35 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;

- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;
- 5 (3RS,4RS)-4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(methanesulphonyl)-
- 10 3-piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(methanesulphonyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(phenylsulphonyl)-3-piperidinol;
- 15 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(phenylsulphonyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(8-quinolinesulphonyl)-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(8-quinolinesulphonyl)-
- 20 3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;
- 25 (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(3-methyl-
- 30 1-propoxy)carbonyl]-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(3-methyl-1-propoxy)carbonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinol;
- 35 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-

- [(4-phenoxy)phenylsulphonyl]-3-piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 5 [[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- [[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- [[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 10 4-[[N^a-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- [[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
- [[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
- 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
- 15 [[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
- [[[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinol;
- 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
- [[[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinone;
- 20 (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- piperidinol;
- 25 4-[[N^a-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(3-chlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- piperidinol;
- 4-[[N^a-(3-chlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- 30 piperidinol;
- 4-[[N^a-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- piperidinone;
- (3RS,4RS)-4-[[N^a-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- piperidinol;
- 35 4-[[N^a-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- piperidinone;

- (3RS,4RS)-4-[[N^a-(8-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;
- 4-[[N^a-(8-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone
- (3RS,4RS)-4-[[N^a-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-
- 5 3-piperidinol;
- 4-[[N^a-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;
- 10 4-[[N^a-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(acetyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;
- 4-[[N^a-(acetyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(p-trifluoromethylbenzenesulphonyl)-L-leucinyl]amino]-1-(4-
- 15 methylpentyl)-3-piperidinol;
- 4-[[N^a-(p-trifluoromethylbenzenesulphonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;
- 20 4-[[N^a-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[2-(RS)-(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-piperidinone;
- 4-[[2-(RS)-(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-
- 25 piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinone;
- 30 (3RS,4RS)-4-[[N^a-(a-toluenesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
- 4-[[N^a-(a-toluenesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-
- 35 [3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

- (3RS,4RS)-4-[[N^a-(benzensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
- 4-[[N^a-(benzensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 5 (3RS,4RS)-4-[[N^a-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
- 4-[[N^a-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- (3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-
- 10 [(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 15 4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 20 [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(tert-butoxyoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[(L-leucinyl)amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 25 (3RS,4RS)-4-[[N^a-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(piperonylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 30 [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(piperonylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 35 4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

- (3RS,4RS)-4-[[N^a-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[[N^a-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 10 [[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 15 4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 20 (3RS,4RS)-4-[[N^a-(phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
- 4-[[N^a-(phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 25 (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
- 30 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(phenylacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
- 35 4-[[N^a-(phenylacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

- (3RS,4RS)-4-[[N^a-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[benzyloxycarbonyl]amino]penty]-3-piperidinol;
- 4-[[N^a-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[[benzyloxycarbonyl]amino]penty]-3-piperidinone;
- 5 (3RS,4RS)-4-[[N^a-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]penty]-3-piperidinol;
- 4-[[N^a-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]penty]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 10 [[benzyloxycarbonyl]amino]penty]-3-piperidinol;
- 4-[[N^a-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]penty]-3-piperidinone;
- 4-[[N^a-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
- piperidinone;
- 15 (3RS,4RS)-4-[[N^a-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinol;
- 4-[[N^a-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
- piperidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
- 20 piperidinol;
- 4-[[N^a-(4-pyridinylacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
- piperidinone;
- (3RS,4RS)-4-[[N^a-(4-imidazoleacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
- 3-piperidinol;
- 25 4-[[N^a-(4-imidazoleacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
- piperidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
- (benzyloxycarbonyl)-3-piperidinol;
- 4-[[N^a-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
- 30 piperidinone;
- (3RS,4RS)-1-benzyl-4-[[N^a-(3-isoquinolinylcarbonyl)-L-leucinyl]amino]-3-
- pyrrolidinol;
- 1-benzyl-4-[[N^a-(3-isoquinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^a-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-3-
- 35 pyrrolidinol;
- 1-benzyl-4-[[N^a-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;

- (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinol;
- 5 pyrrolidinol;
- 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 10 1-benzyl-4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(6-hydroxy-2-naphthylcarbonyl)-L-leucinyl]amino]-
- 15 3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(6-hydroxy-2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 20 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(6-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(6-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 25 (3RS,4RS)-1-benzyl-4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 30 4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzyloxycarbonyl-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 35 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

- 4-[$[N^{\alpha}-(4\text{-pyridinylmethoxy)carbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{tert}\text{-butoxycarbonyl})]$ amino]pentyl]-3-pyrrolidinone;
- 4-[$[N^{\alpha}-(4\text{-pyridinylmethoxy)carbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-(amino)pentyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[$[N^{\alpha}-(2\text{-methylpropoxy)carbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{benzyloxycarbonyl})]$ amino]pentyl]-3-pyrrolidinol;
- 4-[$[N^{\alpha}-(2\text{-methylpropoxy)carbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{benzyloxycarbonyl})]$ amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[$[N^{\alpha}-(\text{methylamino)thiocarbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-
- 10 methyl-2-[$((\text{benzyloxycarbonyl})]$ amino]pentyl]-3-pyrrolidinol;
- 4-[$[N^{\alpha}-(\text{methylamino)thiocarbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{benzyloxycarbonyl})]$ amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[$[N^{\alpha}-(\text{phenylmethylamino)carbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{benzyloxycarbonyl})]$ amino]pentyl]-3-pyrrolidinol;
- 15 4-[$[N^{\alpha}-(\text{phenylmethylamino)carbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{benzyloxycarbonyl})]$ amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[$[N^{\alpha}-(3,4\text{-dichlorophenylamino)carbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{benzyloxycarbonyl})]$ amino]pentyl]-3-pyrrolidinol;
- 4-[$[N^{\alpha}-(3,4\text{-dichlorophenylamino)carbonyl}]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-
- 20 2-[$((\text{benzyloxycarbonyl})]$ amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[$[N^{\alpha}-(3,4\text{-dichlorophenylamino})]$ -L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[$[N^{\alpha}-(3,4\text{-dichlorophenylamino})]$ -L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-4-[$[N^{\alpha}-(1,2,3,4\text{-tetrahydro-6-quinolinecarbonyl})]$ -L-leucinyl]amino]-1-[$(2S)$ -4-
- 25 methyl-2-[$((\text{p-toluenesulphonyl})]$ amino]pentyl]-3-pyrrolidinol;
- 4-[$[N^{\alpha}-(1,2,3,4\text{-tetrahydro-6-quinolinecarbonyl})]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{p-toluenesulphonyl})]$ amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[$[N^{\alpha}-(1,2,3,4\text{-tetrahydro-6-quinolinecarbonyl})]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{acetyl})]$ amino]pentyl]-3-pyrrolidinol;
- 30 4-[$[N^{\alpha}-(1,2,3,4\text{-tetrahydro-6-quinolinecarbonyl})]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{acetyl})]$ amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[$[N^{\alpha}-(4\text{-fluorobenzoyl})]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{acetyl})]$ amino]pentyl]-3-pyrrolidinol;
- 4-[$[N^{\alpha}-(4\text{-fluorobenzoyl})]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{acetyl})]$ amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[$[N^{\alpha}-(4\text{-fluorobenzoyl})]$ -L-leucinyl]amino]-1-[$(2S)$ -4-methyl-2-[$((\text{p-toluenesulphonyl})]$ amino]pentyl]-3-pyrrolidinol;

- 4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 5 4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-
- 10 toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-phenethyl)-4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-(2-phenethyl)-4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-phenethyl)-4-[[N^a-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-
- 15 pyrrolidinol;
- 1-(2-phenethyl)-4-[[N^a-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-phenethyl)-4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-
- pyrrolidinol;
- 1-(2-phenethyl)-4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 20 (3RS,4RS)-1-(2-phenethyl)-4-[[N^a-(α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-(2-phenethyl)-4-[[N^a-(α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-phenethyl)-4-[[N^a-(2-nitro- α -toluenesulphonyl)-L-
- leucinyl]amino]-3-pyrrolidinol;
- 25 1-(2-phenethyl)-4-[[N^a-(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridinylcarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-
- 30 pyridinylcarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-
- toluenesulphonyl)]amino]pentyl]-3-pyrrolidinone;
- 35 (3RS,4RS)-4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinone;
 (3RS,4RS)-4-[(4-phenoxybenzoyl)amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol-L-
 5 leucinyl]amino]-3-pyrrolidinol;
 1-(3-nitrobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-(2-nitrobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 10 1-(2-nitrobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-(4-cyanobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 15 1-(4-cyanobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-(4-bromobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 1-(4-bromobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 20 (3RS,4RS)-1-phenethyl-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 1-phenethyl-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 1-(3-aminobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 25 (3RS,4RS)-1-(3-benzyloxybenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 1-(3-benzyloxybenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 30 (3RS,4RS)-1-(3-hydroxybenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 1-(3-hydroxybenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-ethyl-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
 35 1-ethyl-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 (3RS,4RS)-1-cyclopropylmethyl-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-

- leucinyl]amino]-3-pyrrolidinol;
- 1-cyclopropylmethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-N,N-dimethylaminoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 5 L-leucinyl]amino]-3-pyrrolidinol;
- 1-(2-N,N-dimethylaminoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-morpholinoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinonol;
- 10 1-(2-morpholinoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-bromobenzyl)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinonol;
- 1-(2-bromobenzyl)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-
- 15 pyrrolidinonone;
- (3RS,4RS)-4-[[N^α-(4-pyrdinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(4-pyrdinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 20 (3RS,4RS)-4-[[N^α-(4-pyrdinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(4-pyrdinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(2-pyridinylmethoxy)carbonyl)-L-leucinyl]amino]-1-
- 25 [(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(2-pyridinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(3-pyridinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-
- 30 methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(3-pyridinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 35 [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 5 1-benzyl-4-[[N^α-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(phenylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(phenylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 10 1-benzyl-4-[[N^α-(a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-
- 15 piperidinol;
- 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-piperidinone
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-
- piperidinol;
- 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-piperidinone;
- 20 (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylsulphonyl)amino]-3-piperidinol;
- 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]aminomethyl]-1-
- [(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
- 25 [[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-
- [(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-
- 3-pyrrolidinol;
- 4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-
- 30 [(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-
- 3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
- [2-[(α -toluenesulphonyl)amino]ethyl]-3-pyrrolidinol;
- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
- 35 [2-[(α -toluenesulphonyl)amino]ethyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinol;
- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;

- (3RS,4RS)-4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinol;
- 4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
- 5 [2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinol;
- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
- [2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinol;
- 10 4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
- 15 4-[[N^α-(4-carboxybenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(4-carboxybenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(4-carboxymethyl)benzoyl]-
- 20 L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-1-
- [(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
- 25 (3RS,4RS)-1-phenethyl-4-[[N^α-(2-amino- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-phenethyl-4-[[N^α-(2-amino- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 30 (3RS,4RS)-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinol;
- 4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinol;
- 35 4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinol;

- 4- $[\text{N}^{\alpha}\text{-}(\text{3-isoquinolinecarbonyl})\text{-L-leucinyl}]$ amino]-1-benzoyl-3-piperidinone;
- (3RS,4RS)-4- $[(\text{2S})\text{-4-methyl-2-(benzyl)oxy}]$ pentanoyl]-1-
- [(2S)-4-methyl-2- $[(\text{benzyloxycarbonyl})]$ amino]pentanoyl]-
- 3-piperidinol;
- 5 4- $[(\text{2S})\text{-4-methyl-2-(benzyl)oxy}]$ pentanoyl]-1-
- [(2S)-4-methyl-2- $[(\text{benzyloxycarbonyl})]$ amino]pentanoyl]-
- 3-piperidinone;
- (3RS,4RS)-4- $[(\text{3-(2-pyridyl)phenylacetyl})]$ amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-piperidinol;
- 4- $[(\text{3-(2-pyridyl)phenylacetyl})]$ amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-
- 10 piperidinone;
- (3RS,4RS)-4- $[\text{N}^{\alpha}\text{-}(\text{p-trifluoromethanephensulphonyl})\text{-}$
- L-leucinyl]amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-piperidinol;
- 4- $[\text{N}^{\alpha}\text{-}(\text{p-trifluoromethanephensulphonyl})\text{-}$
- L-leucinyl]amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-piperidinone;
- 15 (3RS,4RS)-4- $[\text{N}^{\alpha}\text{-}(\text{2-naphthylsulphonyl})\text{-}$
- L-leucinyl]amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-piperidinol;
- 4- $[\text{N}^{\alpha}\text{-}(\text{2-naphthylsulphonyl})\text{-}$
- L-leucinyl]amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-piperidinone;
- (3RS,4RS)-4- $[\text{N}^{\alpha}\text{-}(\text{3,4-dichlorophenylsulphonyl})\text{-}$
- 20 L-leucinyl]amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-piperidinol;
- 4- $[\text{N}^{\alpha}\text{-}(\text{3,4-dichlorophenylsulphonyl})\text{-}$
- L-leucinyl]amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-piperidinone;
- (3RS,4RS)-4- $[\text{N}^{\alpha}\text{-}(\text{methanesulphonyl})\text{-L-leucinyl}]$ amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-
- piperidinol;
- 25 4- $[\text{N}^{\alpha}\text{-}(\text{methanesulphonyl})\text{-L-leucinyl}]$ amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-piperidinone;
- (3RS,4RS)-4- $[\text{N}^{\alpha}\text{-}(\text{4-fluorophenylsulphonyl})\text{-L-leucinyl}]$ amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-piperidinol; or
- 4- $[\text{N}^{\alpha}\text{-}(\text{4-fluorophenylsulphonyl})\text{-L-leucinyl}]$ amino]-1- $[(\text{3-(2-pyridyl)phenylacetyl})]$ -3-
- piperidinone;
- 30 or a pharmaceutically acceptable salt thereof.

17. A compound according to claim 1 which is:

- 35 4- $[\text{N}^{\alpha}\text{-}(\text{benzyloxycarbonyl})\text{-L-leucinyl}]$ amino]-1- $[(\text{2S})\text{-4-methyl-2-}$
- [(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 4- $[\text{N}^{\alpha}\text{-}(\text{benzyloxycarbonyl})\text{-L-leucinyl}]$ amino]-1- $[(\text{4-phenoxybenzamide})]$ -3-
- pyrrolidinone;

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((tert-butoxyoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-((aminomethyl)pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
- 10 pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 15 [(ethanoyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
- 15 [[((benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
- 20 [[((benzyloxycarbonyl)]amino]ethanoyl]-3-pyrrolidinone;
- 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-2-
- 25 [[((benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinone;
- 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[cyclohexanepropanoyl]-3-
- pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 30 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylcarbonyl)-3-
- 35 pyrrolidinone;
- 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- [[((benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenyl)ethanoyl]-3-
- piperidinone;

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)aminomethyl]pentanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(amino)ethanoyl]-3-
- 10 piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinone;
- 15 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-
- 20 piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-pyrrolidinone;
- 25 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)ethanoyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-
- 30 pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;
- 4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-pyrrolidinone;
- 35 4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

- 4-[[N^α-idinylmethoxycarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl]]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-
pyridinylmethoxycarbonyl)Jamino]pentanoyl]-3-pyrrolidinone;
- 5 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl]]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[1-
10 (adamantyl)carbonyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methyl-pentanoyl)-3-
pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-D-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl]]amino]pentanoyl]-3-piperidinone;
- 15 4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl]]amino]pentanoyl]-3-piperidinone
- 4-[[N^α-(benzyloxycarbonyl)-N^c-(tert-butoxycarbonyl)-L-lysine]amino]-1-
[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentanoyl]-3-piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
20 piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-
piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[2-
(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;
- 25 4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-
[[benzyloxycarbonyl]]amino]pentanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(methanesulphonyl)-3-
piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(phenylsulphonyl)-3-
30 piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(8-quinolinesulphonyl)-
3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylsulphonyl)-3-
pyrrolidinone;
- 35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
[(2-propoxy)carbonyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(3-methyl-1-

- propoxy)carbonyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-
- 5 [(4-phenoxy)phenylsulphonyl]-3-piperidinone;
- 4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 10 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinone;
- 15 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- 4-[[N^α-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- 4-[[N^α-(3-chlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- 4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- piperidinone;
- 4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- 20 piperidinone;
- 4-[[N^α-(8-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone
- 4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- piperidinone;
- 4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- 25 piperidinone;
- 4-[[N^α-(acetyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- 4-[[N^α-(p-trifluoromethylbenzenesulphonyl)-L-leucinyl]amino]-1-(4-
methylpentyl)-3-piperidinone;
- 4-[[N^α-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- 30 piperidinone;
- 4-[[2-(RS)-[(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-
- piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-
- [2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinone;
- 35 4-[[N^α-(a-toluenesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-
- piperidinone;

- 4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;
- 4-[[N^a-(benzensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;
- 5 4-[[N^a-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;
- 4-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-
- 10 (pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(tert-butoxyoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 15 4-[(L-leucinyl)amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(piperonylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 20 [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 25 4-[[N^a-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
- 30 [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 35 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 4-[[N^α-(phenylacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 5 4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
10 [[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
- 4-[[N^α-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
- 15 4-[[N^α-(4-pyridinylacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
- 4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
- 4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
20 piperidinone;
- 1-benzyl-4-[[N^α-(3-isquinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;
- 25 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(6-hydroxy-2-naphthylcarbonyl)-L-leucinyl]amino]-3-
pyrrolidinone;
- 30 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(6-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-benzyl-4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 35 4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzyloxycarbonyl-3-
pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

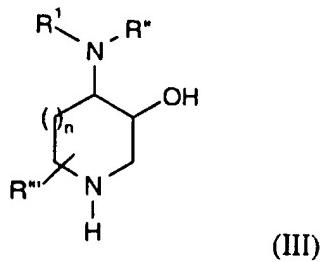
- 4-[[N^a-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[tert-butoxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-(amino)pentyl]-3-pyrrolidinone;
- 5 4-[[N^a-(2-methylpropoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(methylamino)thiocarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(phenylmethylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 10 4-[[N^a-(3,4-dichlorophenylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 1-benzyl-4-[[N^a-(3,4-dichlorophenylamino)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^a-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-
- 15 methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[[N^a-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;
- 20 4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-
- 25 toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^a-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^a-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^a-(α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 30 1-(2-phenethyl)-4-[[N^a-(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridinylcarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-
- 35 toluenesulphonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinone;

- 1-(3-nitrobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-nitrobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 5 1-(4-cyanobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(4-bromobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 10 1-phenethyl-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(3-aminobenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(3-benzyloxybenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 15 1-(3-hydroxybenzyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-ethyl-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-cyclopropylmethyl-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 20 1-(2-N,N-dimethylaminoethyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-morpholinoethyl)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-bromobenzyl)-4-[[N^a-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 25 pyrrolidinone;
- 4-[[N^a-(4-pyrdinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(4-pyrdinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentyl]-3-pyrrolidinone;
- 30 4-[[N^a-(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 35 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

- 1-benzyl-4-[[N^α-(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(phenylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone
 5 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-piperidinone
 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-piperidinone;
 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-piperidinone;
 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
 [(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
 10 4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-
 [(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-
 3-pyrrolidinone;
 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
 [2-[(α -toluenesulphonyl)amino]ethyl]-3-pyrrolidinone;
 15 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
 4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
 [2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;
 4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
 20 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
 4-[[N^α-(4-carboxybenzoyl)-L-leucinyl]amino]-1-[(2S)-4-
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
 1-benzyl-4-[[N^α-(4-carboxybenzoyl)-L-leucinyl]amino]-3-
 25 pyrrolidinone;
 1-benzyl-4-[[N^α-(4-carboxymethyl)benzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
 4-[[N^α-(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-1-[(2S)-4-
 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
 1-phenethyl-4-[[N^α-(2-amino- α -toluenesulphonyl)-L-leucinyl]amino]-3-
 30 pyrrolidinone;
 4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
 4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
 4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
 4-[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-[(2S)-4-methyl-2-
 35 [(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
 4-[[3-(2-pyridyl)phenylacetyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-
 piperidinone;

- 4-[[N^a-(p-trifluoromethanephensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;
- 4-[[N^a-(2-naphthylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;
- 5 4-[[N^a-(3,4-dichlorophenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;
- 10 4-[[N^a-(methanesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;
or
4-[[N^a-(4-fluorophenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;
- 15 18. A pharmaceutical composition comprising a compound according to any one of claims 1 to 17 and a pharmaceutically acceptable carrier.
19. A method of inhibiting a cysteine protease which comprises administering a compound according to claim 1.
- 20 20. A method according to claim 19 wherein the cysteine protease is cathepsin K.
21. A method of inhibiting bone loss which comprises administering a compound according to claim 1.
- 25 22. A method of treating osteoporosis which comprises administering a compound according to claim 1.
- 30 23. A method of treating gingival or periodontal disease which comprises administering a compound according to claim 1.
- 35 24. A method of treating a disease characterized by excessive cartilage or matrix degradation which comprises administering a compound according to claim 1.
25. A method according to claim 24 wherein said disease is osteoarthritis or rheumatoid arthritis.

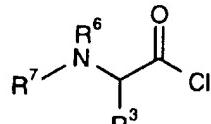
26. A compound according to any one of claims 1 to 17 for use as a medicament.
27. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases in which inhibition of a cysteine protease is a factor.
28. The use of a compound according to claim 27 wherein the cysteine protease is cathepsin K.
- 10 29. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the inhibition of bone loss.
- 15 30. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of osteoporosis.
31. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of gingival or periodontal disease.
- 20 32. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases characterized by excessive cartilage or matrix degradation.
- 25 33. The use of a compound according to claim 32 wherein the disease characterized by excessive cartilage or matrix degradation is osteoarthritis or rheumatoid arthritis.
34. A process for preparing a compound of the formula (I) as defined in claim 1, which process comprises:
- 30 (A) for compounds in which A is CH(OH):
(i) reacting a compound of the formula (III):



or a salt thereof,

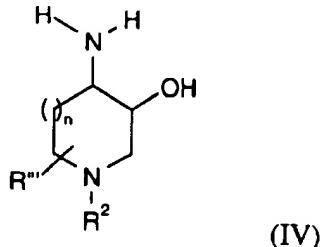
wherein R¹, R'', R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:

- 5 (a) R⁵C(O)Cl, in which R⁵ is as defined in formula (I) of claim 1; or
- (b) R⁵C(O)OH, in which R⁵ is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or
- 10 (c) R⁵C(O)H, in which R⁵ is as defined in formula (I) of claim 1, followed by reduction; or
- (d) R⁵OC(O)Cl, in which R⁵ is as defined in formula (I) of claim 1, in the presence of base; or
- (e) R⁵SO₂Cl, in which R⁵ is as defined in formula (I) of claim 1, in the presence of base; or

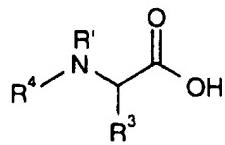


- 15 (f) wherein R³, R⁶ and R⁷ are as defined in formula (I) of claim 1; or
- (g) adamantyl-C(O)Cl;

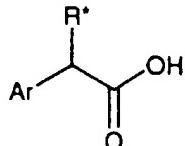
- (ii) reacting a compound of the formula (IV):



wherein R², R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:

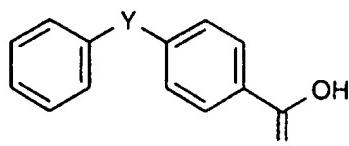
(a) , in which R³, R⁴ and R' are as defined

in formula (I) of claim 1, in the presence of EDC and HOBT; or



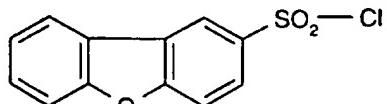
(b) , in which R* is as defined in formula (I)

5 of claim 1, in the presence of EDC and HOBT; or



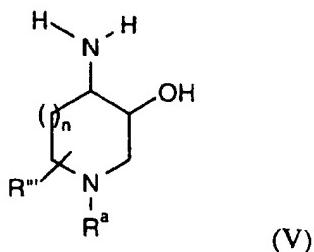
(c) , in which Y is as defined

in formula (I) of claim 1, in the presence of EDC and HOBT; or



10 (d) ;

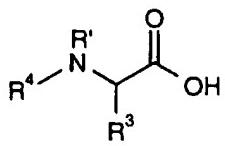
(iii) reacting a compound of the formula (V):



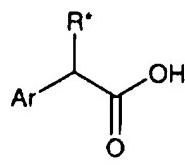
(V)

15

wherein R^{'''} and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, and R^a is C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl with:

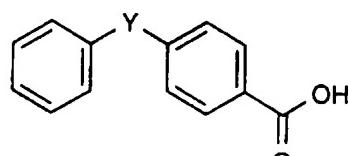
(a) , in which R³, R⁴ and R' are as defined

20 in formula (I) of claim 1, in the presence of EDC and HOBT; or



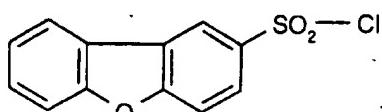
(b) , in which R^* is as defined in formula (I)

of claim 1, in the presence of EDC and HOBT; or



(c) , in which Y is as defined

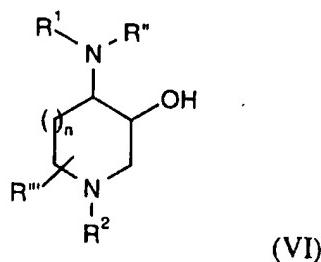
in formula (I) of claim 1, in the presence of EDC and HOBT; or



(d) ;

10 (B) for compounds in which A is C(O):

(i) reacting a compound of the formula (VI):



15

wherein R^1 , R^2 , R'' , R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with an oxidizing agent;

20 and thereafter removing any protecting groups and optionally forming a pharmaceutically acceptable salt.